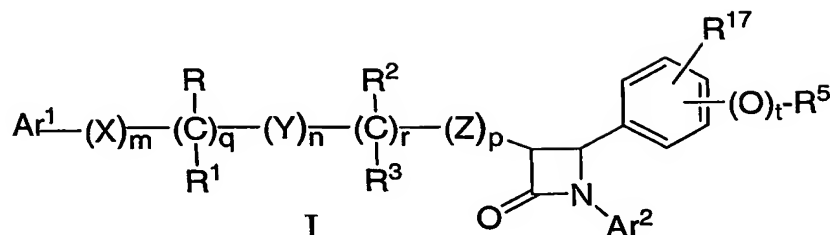


WHAT IS CLAIMED IS:

1. compounds of Formula I



and the pharmaceutically acceptable salts and esters thereof, wherein

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁₋₆alkyl)- and -C(C₁₋₆alkyl)₂-;

R is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹,

-O(CO)NR⁶R⁷, a sugar residue, a disugar residue, a trisugar residue and a tetrasugar residue;

R¹ is selected from the group consisting of hydrogen, C₁₋₆alkyl and aryl or R and R¹ together are oxo;

R² is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R³ is selected from the group consisting of hydrogen, -C₁₋₆alkyl and aryl or R² and R³ together are oxo;

q, r and t are each independently selected from 0 and 1; m, n and p are each independently selected from 0, 1, 2, 3 and 4; provided that at least one of q and r is 1, and the sum of m, n, p, q are r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4, or 5;

R⁴ is 1-5 substituents independently selected at each occurrence from the group consisting of: -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O-C₁₋₅alkyl-OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O-C₁₋₁₀alkyl-COOR⁶, -O-C₁₋₁₀alkyl-CONR⁶R⁷ and fluoro;

R⁶, R⁷ and R⁸ are independently selected at each occurrence from the group consisting of hydrogen, C₁₋₆alkyl, aryl and aryl-substituted C₁₋₆alkyl;

R⁹ is independently selected from the group consisting of C₁₋₆alkyl, aryl and aryl-substituted C₁₋₆alkyl;

R⁵ is selected from

(a) $-R^{10}-R^{11}$, wherein R^{10} is selected from the group consisting of $-S-$, $-S(O)-$, $-SO_2-$ and $-C_{1-6}$ n-alkyl- substituted with one to three substituents selected from the group consisting of $-C_1-$ 6alkyl, $-O(C_{1-6}alkyl)$, $-CF_3$,

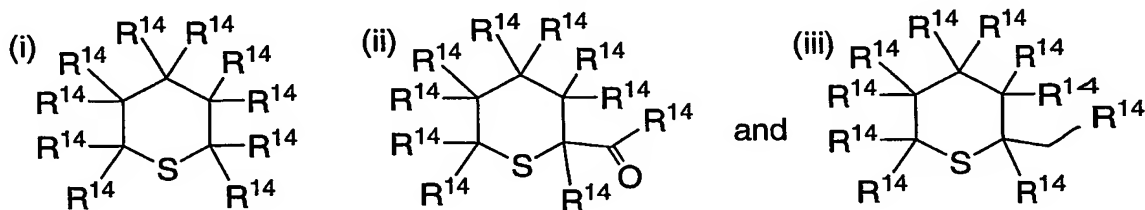
$-OCF_3$, $-NR^6R^7$ and $-F$;

5 (b) $-R^{12}-R^{13}$, wherein R^{12} is selected from (i) a bond and (ii) a member selected from the group consisting of $-S-$, $-S(O)-$, $-SO_2-$, $-C_{1-6}$ n-alkyl-, and $-C_{1-6}$ n-alkyl- $N(R^6)-$, wherein the alkyl group is unsubstituted or substituted with one to three substituents selected from the group consisting of $-OH$, oxo, $-C_1-$ 6alkyl, $-O(C_{1-6}alkyl)$, $-CF_3$, $-OCF_3$, $-NR^6R^7$ and $-F$, and provided that when R^{12} is a bond then t is 1;

10 R^{11} is selected from the group consisting of a sugar residue, disugar residue, trisugar residue and tetrasugar residue;

R^{13} is selected from the group consisting of:

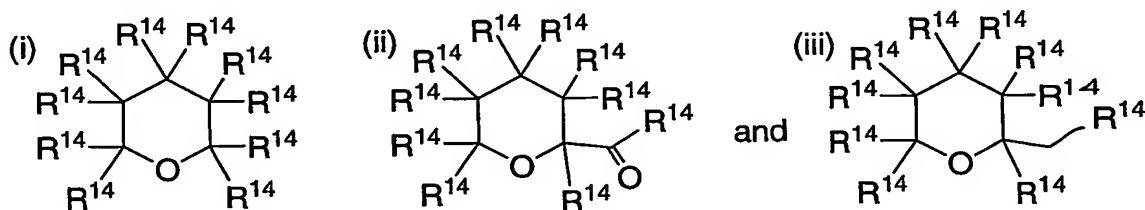
(a) a thiosugar residue selected from the group consisting of:



15 wherein R^{14} is independently selected at each occurrence from (i) a linking bond and (ii) a member of the group consisting of $-F$, $-H$, $-C_{1-6}alkyl$, $-OC_{1-6}alkyl$, $-OCF_3$, $-OH$, $-O-PG$, $-OR^{11}$ and $-OR^{13}$, and provided that: (A) one and only one occurrence of R^{14} is a linking bond, (B) an R^{14} adjacent to a carbonyl is not $-F$, and (C) no more than one occurrence of R^{14} is selected from $-OR^{11}$ and $-OR^{13}$;

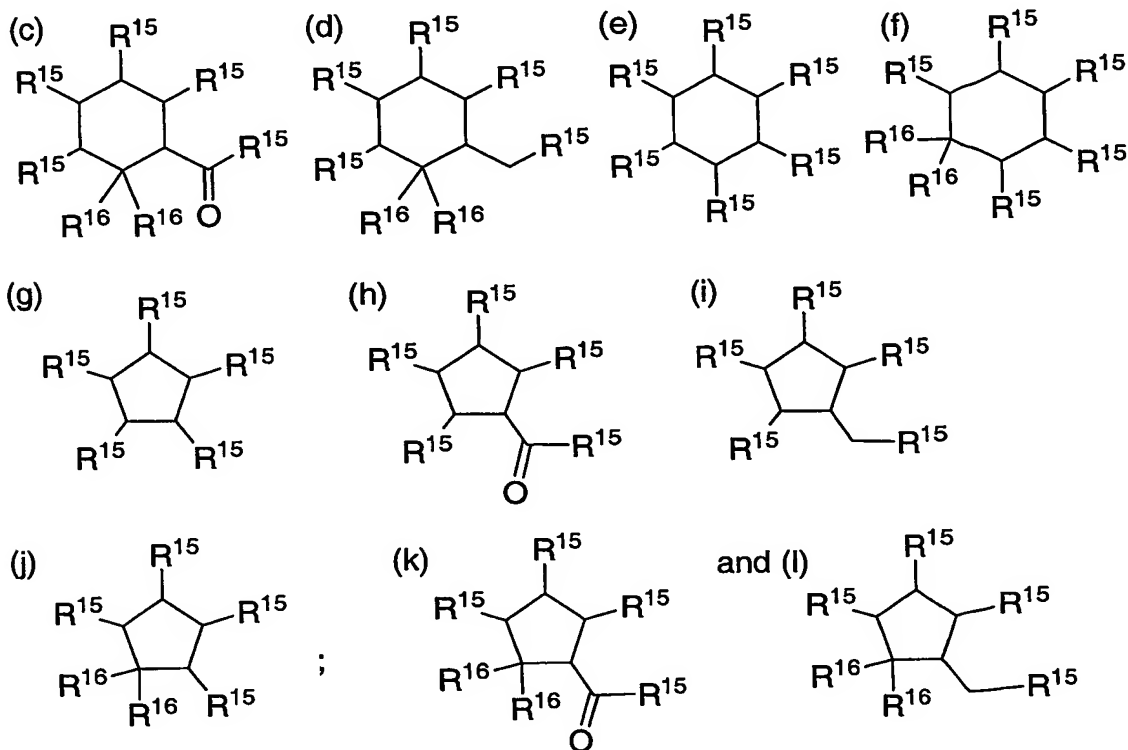
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(b) a fluorosugar residue selected from the group consisting of:



25 wherein R^{14} is independently selected at each occurrence from (i) a linking bond and (ii) a member of the group consisting of $-F$, $-H$, $-C_{1-6}alkyl$, $-OC_{1-6}alkyl$, $-OCF_3$, $-OH$, $-O-PG$, $-OR^{11}$ and $-OR^{13}$, and provided that: (A) one and only one occurrence of R^{14} is a linking bond, (B)

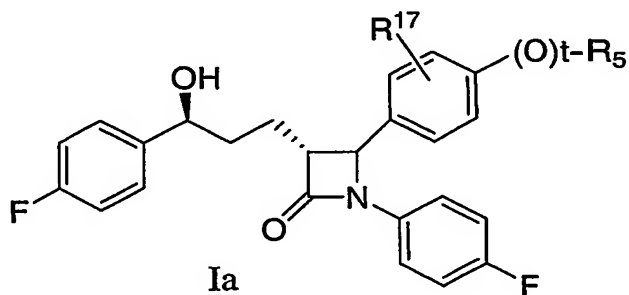
at least one occurrence of R^{14} is -F, (C) an R^{14} adjacent to a carbonyl is not -F, and (D) no more than one occurrence of R^{14} is selected from -OR¹¹ and -OR¹³;



- 5 wherein R^{15} is independently selected at each occurrence from (i) a linking bond and (ii) a member of the group consisting of -H, -C₁₋₆alkyl, -OC₁₋₆alkyl, -OCF₃, -OH, -O-PG, -OR¹¹, -OR¹³, -SR¹¹, -SR¹³, -NR⁶R¹¹ and -NR⁶R¹³, and provided that: (A) one and only one occurrence of R^{15} is a linking bond and (B) no more than one occurrence of R^{15} is selected from -OR¹¹, -OR¹³, -SR¹¹, -SR¹³, -NR⁶R¹¹ and -NR⁶R¹³;
- 10 R^{16} is independently selected at each occurrence from the group consisting of -H and -F;
PG is a hydroxyl protecting group;
and provided that R^5 is comprised of no more than four of any combination of sugar residues and members within the definition of R^{13} linked together. and
 R^{17} is selected from the group consisting of -H, -OH, -C₁₋₆alkyl, -OC₁₋₆alkyl, -CF₃, -CN, -NR⁶R⁷ and
15 halogen.

2. The compound of claim 1 wherein the $-(O)_t-R^5$ moiety is attached to the phenyl ring para to the azetidinone, and the R^5 group is comprised of either $-R^{10}$ or $-R^{12}$ and one or two of a combination of sugar residues and members within the definition of R^{13} linked together.

3. The compound of claim 1 of Formula Ia:



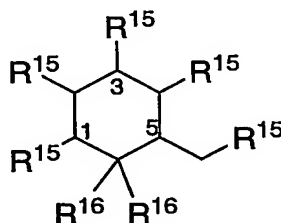
and the pharmaceutically acceptable salts and esters thereof.

4. The compound of claim 3 wherein the R^5 group is comprised of one or two of a combination of sugar residues and members within the definition of R^{13} linked together.

5. The compound of claim 2 wherein t is one, R^5 is $-R^{12}-R^{13}$, and R^{12} is a bond.

6. The compound of claim 5 wherein R^{13} is a thiosugar.

7. The compound of claim 5 wherein R^{13} is



R^{15} at position 1 is a linking bond.

8. The compound of claim 7 selected from that wherein (a) all the remaining R^{15} groups are $-OH$; and (b) R^{15} at position 4 is $-OR^{11}$ and the remaining R^{15} groups are $-OH$.

9. The compound of claim 2 wherein t is zero and R^5 is

-R¹⁰-R¹¹

10. The compound of claim 9 wherein R¹¹ is a sugar residue or a disugar residue.
- 5 11. The compound of claim 10 wherein R¹⁰ is selected from -S- and -CF₂-.
12. A method of reducing plasma cholesterol levels comprising administering a therapeutically effective amount of a compound of claim 1 to a patient in need of such treatment.
- 10 13. A method of treating hypercholesterolemia comprising administering a therapeutically effective amount of a compound of claim 1 to a patient in need of such treatment.
14. A method of treating atherosclerosis comprising administering a therapeutically effective amount of a compound of claim 1 to a patient in need of such treatment.
- 15 15. A method of reducing the risk for atherosclerosis comprising administering a prophylactically effective amount of a compound of claim 1 to a patient in need of such treatment.
- 20 16. A method of reducing the risk for having an atherosclerotic disease event comprising administering a prophylactically effective amount of a compound of claim 1 to a patient in at risk for such an event.
17. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.